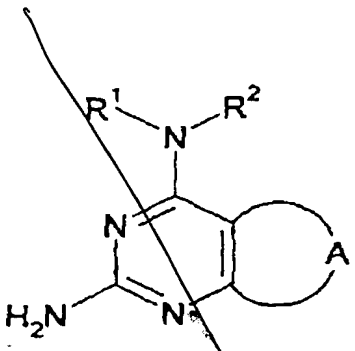
contd. Q2

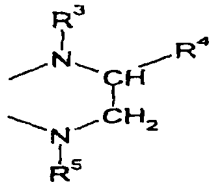


(I)

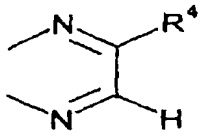
Sub B1

in which

A is



or



R^1 is hydrogen, C_1-C_{20}-alkyl, C_2-C_{20}-alkenyl, C_2-C_{20}-alkynyl, cycloalkyl with three to eight ring carbon atoms, cycloalkenyl with three to eight ring carbon atoms, cycloalkylalkyl with five to six ring carbon atoms, aryl, alkylaryl or arylalkyl, wherein R^1 is unsubstituted or substituted with at least one substituent chosen from R^6,

R^2 is C_1-C_{20}-alkyl, C_2-C_{20}-alkenyl, C_2-C_{20}-alkynyl, cycloalkyl with three to eight ring carbon atoms, cycloalkenyl with three to eight ring carbon atoms, cycloalkylalkyl with five to six ring carbon atoms, aryl, alkylaryl or arylalkyl, wherein R^2 is unsubstituted or substituted with at least one substituent chosen from R^6,

or R^1 and R^2, together with the nitrogen atom bearing them, form a 3-8-membered ring, wherein said 3-8-membered ring optionally comprises 0, 1 or 2 further heteroatoms chosen from N, O, and S, and wherein said 3-8-membered ring is unsubstituted or substituted by at least one radical,

R^3 is hydrogen, -CO-alkyl, -CO-alkylaryl or -CO-aryl,

R^4 is C_1-C_{20}-alkyl, C_2-C_{20}-alkenyl, C_2-C_{20}-alkynyl, cycloalkyl with three to eight ring carbon atoms, cycloalkenyl with three to eight ring carbon atoms, cycloalkylalkyl with five to six ring carbon atoms, aryl, alkylaryl, arylalkyl, -CO-O-alkyl, -CO-O-aryl, -CO-alkyl -CO-aryl, wherein R^4 is unsubstituted or substituted with at least one substituent chosen from R^7,

R^5 is hydrogen, -CO-alkyl, -CO-alkylaryl or -CO-aryl,

contd. claim 2

R^6 is -F, -OH, -O-(C_1-C_{10})-alkyl, -O-phenyl, -O-CO-(C_1-C_{10})-alkyl, -O-CO-aryl, -NR^8R^9, oxo, phenyl, -CO-(C_1-C_5)-alkyl, -CF_3, -CN, -$CONR^8R^9$, -COOH, -CO-O-(C_1-C_5)-alkyl, -CO-O-aryl, -$S(O)_n$-(C_1-C_5)-alkyl, or -SO_2-NR^8R^9,

R^7 is -F, -OH, -O-(C_1-C_{10})-alkyl, -O-phenyl, -O-CO-(C_1-C_{10})-alkyl, -O-CO-aryl, -NR^8R^9, oxo, phenyl, -CO-(C_1-C_5)-alkyl, -CF_3, -CN, -$CONR^8R^9$, -COOH, -CO-O-(C_1-C_5)-alkyl, -CO-O-aryl, -$S(O)_n$-(C_1-C_5)-alkyl, or -SO_2-NR^8R^9,

R^8 is hydrogen or C_1-C_{20}-alkyl, and

R^9 is hydrogen, C_1-C_{20}-alkyl or aryl,

or a physiologically acceptable salt, hydrate, or ester thereof, in any stereoisomeric or tautomeric form, or a mixture of any such compounds in any ratio.

SUB B1

2. (Amended) The compound as claimed in claim 1, in which

R^1 is hydrogen, (C_1-C_{10})-alkyl, (C_3-C_8)-cycloalkyl, cycloalkylalkyl, aryl, (C_1-C_3)-alkylaryl or arylalky, wherein R^1 is unsubstituted or the alkyl radicals are substituted with at least one substituent chosen from R^6

R^2 is (C_1-C_{10})-alkyl, (C_3-C_8)-cycloalkyl, cycloalkylalkyl, aryl or (C_1-C_3)-alkylaryl, wherein R^2 is unsubstituted or the alkyl radicals are substituted with at least one substituent chosen from R^6

or R^1 and R^2, together with the nitrogen atom bearing them, form a 3-8-membered ring, wherein said 3-8-membered ring optionally comprises 0, 1 or 2 further heteroatoms chosen from N, O, and S and and wherein said 3-8-membered ring is unsubstituted or substituted by at least one radical,

R^3 is hydrogen, -CO-(C_1-C_7)-alkyl, -CO-(C_1-C_3)-alkylaryl or -CO-aryl,

R^4 is (C_1-C_{10})-alkyl, aryl, (C_1-C_8)-alkylaryl, -CO-O-(C_1-C_5)-alkyl, -CO-O-aryl, -CO-(C_1-C_5)-alkyl or -CO-aryl, wherein R^4 is unsubstituted or the alkyl radicals are substituted with at least one substituent chosen from R^7

R^5 is hydrogen, -CO-(C_1-C_7)-alkyl, -CO-(C_1-C_3)-alkylaryl or -CO-aryl,

R^6 is -F, -OH, -O-(C_1-C_{10})-alkyl, -O-phenyl, -O-CO-(C_1-C_{10})-alkyl, -O-CO-aryl, -NR^8R^9, oxo, phenyl, -CO-(C_1-C_5)-alkyl, -CF_3, -CN, -$CONR^8R^9$, -COOH, -CO-O-(C_1-C_5)-alkyl, -CO-O-aryl, -$S(O)_n$-(C_1-C_5)-alkyl, or -SO_2-NR^8R^9,

R^7 is -F, -OH, -O-(C_1-C_{10})-alkyl, -O-phenyl, -O-CO-(C_1-C_{10})-alkyl, -O-CO-aryl, -NR^8R^9, oxo, phenyl, -CO-(C_1-C_5)-alkyl, -CF_3, -CN, -$CONR^8R^9$, -COOH, -CO-O-(C_1-C_5)-alkyl, -CO-O-aryl, -$S(O)_n$-(C_1-C_5)-alkyl, or -SO_2-NR^8R^9,

R^8 is hydrogen or (C_1-C_5)-alkyl, and

R^9 is hydrogen, (C_1-C_5)-alkyl or phenyl,

wherein each aryl group is chosen from phenyl, naphthyl and heteroaryl groups,

wherein said phenyl, naphthyl and heteroaryl groups are unsubstituted groups or substituted groups which are substituted by at least one substituent chosen from halogen, (C_1-C_5)-alkyl or phenyl, -OH, -O-(C_1-C_5)-alkyl, (C_1-C_2)-alkylenedioxy, $-N^8R^9$, $-NO_2$, -CO-(C_1-C_5)-alkyl, $-CF_3$, -CN, $-CONR^8R^9$, -COOH, -CO-O-(C_1-C_5)-alkyl, $-S(O)_n$-(C_1-C_5)-alkyl, and $-SO_2-NR^8R^9$,

wherein said heteroaryl groups are 5- to 7-membered unsaturated heterocycles comprising at least one heteroatom chosen from O, N, and S, and

wherein n is 0, 1 or 2,

or a physiologically acceptable salt, hydrate, or ester thereof, in any stereoisomeric or tautomeric form, or a mixture of any such compounds in any ratio.

3. (Amended) The compound as claimed in claim 1, in which

R^1 is hydrogen, unsubstituted (C_2-C_4)-alkyl, substituted (C_2-C_4)-alkyl which is substituted by at least one R^6, or (C_1-C_2)-alkylaryl,

R^2 is unsubstituted (C_2-C_4)-alkyl, substituted (C_2-C_4)-alkyl which is substituted by at least one R^6, cyclohexylmethyl or (C_1-C_2)-alkylaryl,

or R^1 and R^2, together with the nitrogen atom bearing them, form a 5-7-membered ring wherein said 5-7-membered ring optionally comprises an additional heteroatom chosen from N, O, and S,

R^3 is hydrogen, -CO-(C_1-C_3)-alkyl or -CO-aryl,

R^4 is aryl, (C_1-C_5)-alkyl or -CO-O-aryl, wherein R^4 is unsubstituted or substituted with at least one substituent chosen from R^7,

R^5 is hydrogen,

R^6 is -OH, -O-(C_1-C_3)-alkyl, $-NR^8R^9$ or -COOH, and

R^7 is -OH, (C_1-C_{10})-alkyloxy, phenoxy or oxo,

wherein each aryl group is chosen from phenyl, thienyl, furyl and pyridyl,

wherein said phenyl, thienyl, furyl and pyridyl groups are unsubstituted groups or substituted groups which are substituted by at least one substituent chosen from (C_1-C_3)-alkyl, halogen, (C_1-C_3)-alkyloxy and (C_1-C_2)-alkylenedioxy,

or a physiologically acceptable salt, hydrate, or ester thereof, in any stereoisomeric or tautomeric form, or a mixture of any such compounds in any ratio.

4. (Amended) The compound as claimed in claim 1, in which

R^1 is arylmethyl,

R^2 is arylmethyl or cyclohexylmethyl,

contd. Q2

or R^1 and R^2, together with the nitrogen atom bearing them, form a pyrrolidine, piperidine, morpholine, dimethylmorpholine, thiomorpholine, or N-(C_1-C_2)-alkylpiperazine ring,

R^3 is hydrogen,

R^4 is alkyl or 1,2-dihydroxypropyl,

R^5 is hydrogen,

Sub B1

R^6 is -OH, -O-(C_1-C_3)-alkyl, -NR^8R^9 or -COOH, and

R^7 is -OH, decyloxy or phenoxy,

wherein each aryl group is chosen from unsubstituted phenyl or substituted phenyl, which is substituted by at least one substituent chosen from (C_1-C_3)-alkyl, halogen and (C_1-C_3)-alkyloxy and (C_1-C_2)-alkylenedioxy,

or a physiologically acceptable salt, hydrate, or ester thereof, in any stereoisomeric or tautomeric form, or a mixture of any such compounds in any ratio.

5. (Amended) The compound as claimed in claim 1, which is a tetrahydropteridine wherein R^4 is aryl, (C_1-C_5)-alkyl or -CO-O-aryl, and wherein said R^4 is unsubstituted or substituted with at least one substituent chosen from R^7.

6. (Amended) The compound as claimed in claim 1, which is a pteridine wherein

R^1 and R^2 are each, independently alkyl or aryl, or

R^1 is hydrogen and R^2 is cycloalkyl or cycloalkylalkyl, and

wherein R^4 is aryl, (C_1-C_5)-alkyl or -CO-O-aryl, wherein said R^4 is unsubstituted or substituted with at least one substituent chosen from R^7.

7. (Amended) A pharmaceutical comprising at least one of the compounds as claimed in claim 1 and at least one additional ingredient chosen from conventional excipients additives and further active ingredients.

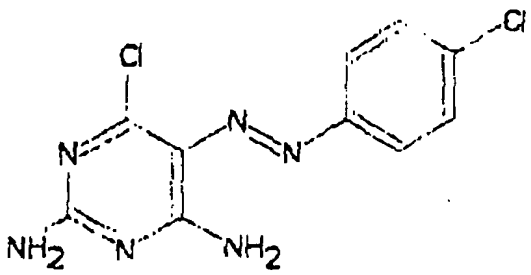
8. (Amended) A method of treating or preventing strokes, pathological falls in blood pressure, ulcerative colitis, transplant rejection reactions, nephritis, reperfusion damage, infarct damage, cardiomyopathy, Alzheimer's disease, epilepsy, migraine and neuritis of varying etiogenesis comprising administration of at least one pharmaceutical of claim 7 to a patient in need thereof .

9. (Amended) A method of inhibiting NO synthase comprising administration of at least one pharmaceutical of claim 7 to a patient in need thereof.

Q3 Sub B1

11. (Amended) A process for preparing the compound as claimed in claim 1 comprising reacting a compound of formula II

contd. a/3



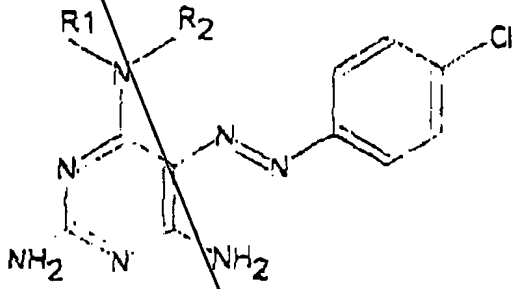
(II)

with a compound of formula III

$$HNR^1R^2 \qquad \text{(III)}$$

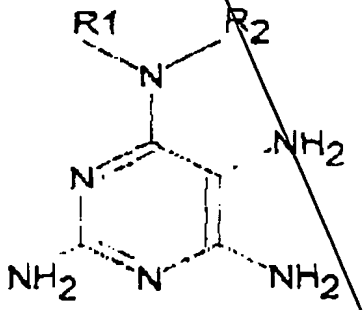
Sub B1

which results in a compound of formula IV



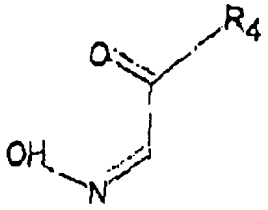
(IV)

wherein the compound of formula IV is converted to a compound of formula V by catalytic hydrogenation



(V)

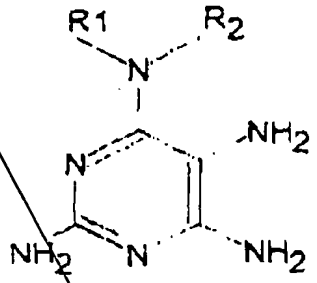
and wherein a compound of formula V is reacted with a compound of the formula VI



(VI)

contd. to give a compound of formula I.

12. (Amended) A compound of the formula V



(V),

in which

R^1 is hydrogen, C_1-C_{20}-alkyl, C_2-C_{20}-alkenyl, C_2-C_{20}-alkynyl, cycloalkyl with three to eight ring carbon atoms, cycloalkenyl with three to eight ring carbon atoms, cycloalkylalkyl with five to six ring carbon atoms, aryl, alkylaryl or arylalkyl, wherein R^1 is unsubstituted or substituted with at least one substituent chosen from R^6,

$R^{2'}$ is C_1-C_{20}-alkyl, C_2-C_{20}-alkenyl, C_2-C_{20}-alkynyl, cycloalkyl with three to eight ring carbon atoms, cycloalkenyl with three to eight ring carbon atoms, cycloalkylalkyl with five to six ring carbon atoms, aryl, alkylaryl or arylalkyl, wherein R^2 is unsubstituted or substituted with at least one substituent chosen from R^6,

or R^1 and $R^{2'}$, together with the nitrogen atom bearing them, form a 3-8-membered ring, wherein said 3-8 membered ring optionally comprises 0, 1 or 2 further heteroatoms chosen from N, O, and S and wherein said 3-8-membered ring is unsubstituted or substituted by at least one substituent chosen from R^6, and

R^6 is -F, -OH, -O-(C_1-C_{10})-alkyl, -O-phenyl, -O-CO-(C_1-C_{10})-alkyl, -O-CO-aryl, -NR^8R^9, oxo, phenyl, -CO-(C_1-C_5)-alkyl, -CF_3, -CN, -$CONR^8R^9$, -COOH, -CO-O-(C_1-C_5)-alkyl, -CO-O-aryl, -$S(O)_n$-(C_1-C_5)-alkyl, or -SO_2-NR^8R^9

R^8 is hydrogen or C_1-C_{20}-alkyl, and

R^9 is hydrogen, C_1-C_{20}-alkyl or aryl,

or a physiologically acceptable salt, hydrate, or ester thereof, in any stereoisomeric or tautomeric form, or a mixture of any such compounds in any ratio.

13. The process for preparing the compound as claimed in claim 12, further comprising converting the compound of formula I by derivatization into a physiologically acceptable salt, hydrate, ester or adduct of the compound of formula I or into another compound of formula I.

14. The process of claim 13, wherein said derivatization is acylation.